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                 available
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        DEC 22
                 Additional INPI reactions and pre-1907 documents added to CAS
                 databases
                 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
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                 ABI-INFORM now available on STN
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         JAN 27
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                 and searchable
NEWS 21
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
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         FEB 05
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        MAR 03
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                MEDLINE file segment of TOXCENTER reloaded
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                FRANCEPAT now available on STN
             MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
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              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
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SINCE FILE TOTAL ENTRY SESSION

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TOTAL. SESSION

0.48

FULL ESTIMATED COST

0.06 0.27

FILE 'HOME' ENTERED AT 05:01:36 ON 22 MAR 2004

=> file reg

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SINCE FILE TOTAL

> ENTRY SESSION 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 19 MAR 2004 HIGHEST RN 665776-10-3 DICTIONARY FILE UPDATES: 19 MAR 2004 HIGHEST RN 665776-10-3

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STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

G1 H, Ak G2 O, N, C

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 05:08:10 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 8056 TO ITERATE

12.4% PROCESSED

1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

155742 TO 166498

PROJECTED ANSWERS:

0 TO

0 ANSWERS

L2 0 SEA SSS SAM L1

=> search 11

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full FULL SEARCH INITIATED 05:08:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 161324 TO ITERATE

100.0% PROCESSED 161324 ITERATIONS SEARCH TIME: 00.00.11

21 ANSWERS

L3 21 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION 159.62 160.10

FILE 'CAPLUS' ENTERED AT 05:08:34 ON 22 MAR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 22 Mar 2004 VOL 140 ISS 13 FILE LAST UPDATED: 21 Mar 2004 (20040321/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 10 L3

=> d 14 fbib ab hitstr 1-10

- L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2002:960938 CAPLUS
- DN 138:337781
- TI Efficient synthesis of a new potential chelating agent for radioimmunotherapy
- AU Gouin, Sebastien G.; Gestin, Jean-Francois; Remaud, Patricia; Faivre-Chauvet, Alain; Meslin, Jean Claude; Deniaud, David
- CS Laboratoire de Synthese Organique, UMR CNRS 6513, Faculte des Sciences et des Techniques, Nantes, 44072, Fr.
- SO Synlett (2002), (12), 2080-2082 CODEN: SYNLES; ISSN: 0936-5214
- PB Georg Thieme Verlag
- DT Journal
- LA English
- OS CASREACT 138:337781
- AB The synthesis of a new rigid analog of cyclohexyl-TTHA, an efficient lanthanide ligand, as well as the first complexation trials are reported. This polyaminopolycarboxylic acid (I) was obtained in five steps from

o-phenylenediamine as starting product. The key intermediate was tetramine II, which after alkylation and hydrolysis gave I with ten coordination centers.

IT 518038-50-1P 518038-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polyaminopolycarboxylic acid and its complexation with yttrium)

RN 518038-50-1 CAPLUS

CN Carbamic acid, [1,2-phenylenebis[imino(2-oxo-2,1-ethanediyl)]]bis-,
bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 518038-51-2 CAPLUS

CN Carbamic acid, [1,2-phenylenebis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

# RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:462923 CAPLUS

DN 137:241208

TI Introduction of Lanthanide(III) Chelates to Oligopeptides on Solid Phase

AU Peuralahti, Jari; Hakala, Harri; Mukkala, Veli-Matti; Loman, Kristiina; Hurskainen, Pertti; Mulari, Outi; Hovinen, Jari

CS PerkinElmer Life Sciences Wallac Oy, Turku, FIN-20101, Finland

SO Bioconjugate Chemistry (2002), 13(4), 870-875

CODEN: BCCHES; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English

OS CASREACT 137:241208

AB The synthesis of oligopeptide building blocks for the introduction of nonluminescent and luminescent lanthanide(III) chelates to the oligopeptide structure on the solid phase is described. The oligopeptide conjugates synthesized were used in DELFIA-based receptor binding assay (motilin) as well as in LANCE time-resolved fluorescence quenching assay (caspase-3).

IT 450374-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nonluminescent and luminescent lanthanide(III) chelates and their incorporation in solid-phase peptide synthesis)

RN 450374-57-9 CAPLUS

CN 3-Oxa-6,9,12-triazatetradecan-14-oic acid, 6,9-bis[2-(1,1-dimethylethoxy)-2-oxoethyl]-12-[[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]methyl]-2,2-dimethyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

## RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:149241 CAPLUS

DN 136:340985

TI A Noncovalent Approach to Antiparallel  $\beta$ -Sheet Formation

AU Zeng, Huaqiang; Yang, Xiaowu; Flowers, Robert A., II; Gong, Bing

CS Department of Chemistry, Natural Sciences Complex, State University of New York, Buffalo, NY, 14260, USA

SO Journal of the American Chemical Society (2002), 124(12), 2903-2910 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 136:340985

AΒ Four tripeptide chains, when attached to the same end of a hydrogen-bonded duplex peptides I·II (R = Me, iso-Bu; Ia has R = Me; Ib has R = Me) iso-Bu; IIa has R = iso-Bu; IIb has R = Me) with the unsym., complementary sequences of ADAA/DADD, have been brought into proximity, leading to the formation of four hybrid duplexes, Ia·IIa, Ia·IIb, Ib·IIa, and Ib·IIb, each of which contains a two-stranded eta-sheet segment. The extended conformations of the peptide chains were confirmed by 1D and 2D NMR. The peptide strands stay registered through hydrogen bonding and the  $\beta$ -sheets are stabilized by side chain interactions. Two-dimensional NMR data also indicate that the duplex template prevents further aggregation in the peptide segment. When the peptide chains are attached to the two different termini of the duplex template, NMR studies show the presence of a mixture with no clearly defined conformations. In the absence of the duplex template, the tripeptides are found to associate randomly. Finally, isothermal titration calorimetry studies revealed that the hybrid duplex Ia·IIa was more stable than either the duplex template or the peptides alone.

#### IT 416899-51-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydrogen-bonded duplex templates with peptide chains that form antiparallel  $\beta$ -sheet-like structures)

RN 416899-51-9 CAPLUS

CN Benzoic acid, 3-[[[[2-(octyloxy)-5-[(1-oxohexyl)amino]benzoyl]amino]acetyl]amino]-5-[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, octyl ester (9CI) (CA INDEX NAME)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:553906 CAPLUS

DN 133:335443

TI Synthesis of model compounds for potential contrast agents containing phosphonate and peptide moieties

AU Shalem, Hutti; Shatzmiller, Shimon; Feit, Ben-Ami

CS School of Chemistry, The Raymond and Beverly Sackler Faculty of Exact Sciences, Tel Aviv University, Ramat Aviv, Tel Aviv-Jaffa, 69978, Israel

SO Perkin 1 (2000), (16), 2831-2837 CODEN: PERKF9

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 133:335443

AB The synthesis of di-Me 2-acetoxy-2-(2,4-diiodo-5-aminophenyl)ethylphosphonate (I) and di-Me 2-acetoxy-2-(2,4,6-triiodo-3,5-diaminophenyl)ethylphosphonate (II) is described. Several amido derivs. III [X = CO(CH2)nCO; n = 0, 2, 4, 6] and peptide derivs. IV (R = Boc-Ala-Ala-, Cbz-Gly-Gly-, Cbz-Leu-Gly-, Cbz-Gly-Ala-, Cbz-Ala-Val-) of these phosphonates were prepared These products are composed of a combination of structural/functional moieties which enable them to be potential nonionic, selective x-ray contrast agents.

IT 303183-55-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of phosphonates and their peptide derivs. as potential nonionic, selective x-ray contrast agents)

RN 303183-55-3 CAPLUS

CN Carbamic acid, [[5-[1-(acetyloxy)-2-(dimethoxyphosphinyl)ethyl]-4,6-diiodo-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:535988 CAPLUS

DN 133:267133

TI New highly potent dipeptidic growth hormone secretagogues with low molecular weight

AU Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Hansen, Birgit Sehested; Lau, Jesper; Nielsen, Karin Kramer; Raun, Kirsten

CS Health Care Chemistry, Novo Nordisk A/S, Malov, 2760, Den.

SO European Journal of Medicinal Chemistry (2000), 35(6), 599-618 CODEN: EJMCA5; ISSN: 0223-5234

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

AB Based on NN703, low mol. weight growth hormone secretagogues (GHSs) with a reduced number of hydrogen binding sites were designed by removal of the C-terminal amide group. The compds. were highly potent in combination with high efficacy in a rat pituitary cell assay, being characterized with EC50 values down to 0.8 nM. Selected compds. were tested in in vivo animal models. The oral bioavailability in dogs was 16-44%. Also, the ED50 values of the compds. were determined both in dog and swine.

IT 202811-34-5P 202811-36-7P 202811-38-9P 297175-37-2P 297175-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of highly potent dipeptidic growth hormone secretagogues with low mol. wts.)

RN 202811-34-5 CAPLUS

CN Carbamic acid, [2-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 202811-36-7 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202811-38-9 CAPLUS

CN Carbamic acid, [(3E)-5-[[(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methylamino]-1,1-dimethyl-5-oxo-3-pentenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

RN 297175-37-2 CAPLUS

CN Carbamic acid, [2-[[2-[2-(methylamino)ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 297175-40-7 CAPLUS

CN Carbamic acid, [2-[[2-[2-[methyl[(2R)-2-(methylamino)-3-(2-naphthalenyl)-1-oxopropyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

## RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1999:233909 CAPLUS
DN
     130:275757
TI
     Contrasting agent for infarct and necrosis imaging of heart and kidneys
IN
     Platzek, Johannes; Niedballa, Ulrich; Raduchel, Bernd; Ebert, Wolfgang;
     Weinmann, Hanns-Joachim
     Schering A.-G., Germany
PΑ
SO
     PCT Int. Appl., 112 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     German
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
ΡI
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F	R:				CH	,	DE,	DK,	ES,	FR,	GB,					NL,			,

DE 1997-19744003A 19970926

			WO 1998-EP5184 W 19980817
JP 2001518471	T2	20011016	JP 2000-513843 19980817
			DE 1997-19744003A 19970926
			WO 1998-EP5184 W 19980817
AT 228116	E	20021215	AT 1998-946346 19980817
			DE 1997-19744003A 19970926
			WO 1998-EP5184 W 19980817
PT 1017684	$\mathbf{T}$	20030331	PT 1998-98946346 19980817
			DE 1997-19744003A 19970926
ES 2188011	Т3	20030616	ES 1998-946346 19980817
			DE 1997-19744003A 19970926
US 6083479	A	20000704	US 1998-157959 19980922
			DE 1997-19744003A 19970926
NO 2000001556	Α	20000523	NO 2000-1556 20000324
			DE 1997-19744003A 19970926
			WO 1998-EP5184 W 19980817

OS MARPAT 130:275757

AΒ 1,4,7,10-Tetraazacyclododecane derivs. and their rare earth complexes as novel compds. suitable as contrasting agents, in particular for infarct and necrosis imaging, are disclosed, as well as processes for preparing the same and pharmaceuticals containing these compds. Thus, symdiethylenetriaminepentaacetic acid tetra-tert-Bu ester in presence of N-hydroxysuccimide in DMF was treated with dicylcohexylcardodiimide and subsequently with glycine in presence of Et3N to give 3,9-bis(N-tertbutoxycarbonylmethyl)-6-[N-(3-aza-2-oxo-4-carboxy)butyl]-3,6,9triazaundecane-1,11-dicarboxylic acid di-tert-Bu ester (I). I was reacted with 1,4,7,10-tetraazacyclododecane in DMF in presence of 2-ethoxy-1-ethoxycarbonyl-1,2-dihydroquinoline to give 1,4,7-tris(3,9-bis(N-tert-butoxycarbonylmethyl)-6-[N-(3-aza-2,5dioxo)pentan-1,5-diyl]-3,6,9-triazaundecanedicarboxylic di-tert-Bu ester}-1,4,7,10-tetraazacyclododecane which was reacted with hexadecanoic acid in DMF to give 1,4,7-tris $\{3,9$ -bis(N-tert-butoxycarbonylmethyl)-6-[N-(3-aza-2,5-dioxo)pentan-1,5-diyl]-3,6,9-triazaundecanedicarboxylic di-tert-Bu ester}-10-[N-n-hexadecanoyl]-1,4,7,10-tetraazacyclododecane (II). II in CF3CO2H reacted with Gd2O3 in presence of NaOH to give after deprotection the Na salt of the Gd complex of the deprotected II. ΙT

IT 192636-26-3P 192636-28-5P 222033-44-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(reactant for preparation of rare earth complexes with alkylcarbonyl derivs.
of tetraazacyclododecane as MRI contrast agents for myocardial

infarction and renal ischemia) RN 192636-26-3 CAPLUS

CN Benzoic acid, 3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-(9CI) (CA INDEX NAME)

RN 192636-28-5 CAPLUS

CN Carbamic acid, [1,4,7,10-tetraazacyclododecane-1,4,7-triyltris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Ph-CH<sub>2</sub>-O-C-NH-CH<sub>2</sub>-C-NH

Ph-CH<sub>2</sub>-O-C-NH-CH<sub>2</sub>-C-NH

Ph-CH<sub>2</sub>-O-C-NH-CH<sub>2</sub>-C-NH

Ph-CH<sub>2</sub>-O-C-NH-CH<sub>2</sub>-C-NH

NH-C-CH<sub>2</sub>

Ph-CH<sub>2</sub>-O-C-NH-CH<sub>2</sub>-C-NH

PAGE 1-B

RN 222033-44-5 CAPLUS

CN Carbamic acid, [[10-(1-oxotetradecyl)-1,4,7,10-tetraazacyclododecane-1,4,7-triyl]tris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

### PAGE 1-A

PAGE 1-B

## RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:87706 CAPLUS

DN 128:154388

TI Preparation of peptide analogs with growth hormone releasing properties

IN Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Thogersen, Henning

PA Novo Nordisk A/S, Den.; Peschke, Bernd; Ankersen, Michael; Hansen, Thomas Kruse; Thogersen, Henning

SO PCT Int. Appl., 178 pp. CODEN: PIXXD2

DT Patent

LA English

W: AL, AM, AT, AU, AZ, BA, BB, BG, ER, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, II, IS, JP, KE, KG, KP, KR, KL LC, LK, IR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MN, NO, NZ, P PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FI GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, G GN, ML, MR, NE, SN, TD, TG  AU 9734346  Al 19980210  AU 1997-B34346  Al 19980210  AU 1997-D8314  BY 1996-803  A 19960722  WO 1997-D8314  W 19970717  DK 1996-803  A 19907717  EP 923539  B1 20020605  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PC IE, FI  DK 1996-803  A 1990717  US 5922770  A 19990713  US 1997-B36550  A 19960722  WO 1997-D8314  W 19970717  US 1996-803  A 19960722  WO 1997-D8314  W 19970717  DK 1996-803  A 19960722  WO 1997-D8314  W 1997-			TENT			KI:		DATE			_		CATI			DATE			
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AU 9734346 Al 19980210 AU 1997-34346 DK 1996-803 A 19960722 WO 1997-DK314 W 19970717 EP 923539 Bl 20020605 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, P. IE, FI  DK 1996-803 W 1997-DK314 W 19970717 US 5922770 A 19990713 US 1997-896550 JP 2000515517 T2 20001121 JP 1998-506465 PF 1184370 A2 20020306 EP 2001-123155 JP 2001-123155 PF 1184370 A3 20020327 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, P. IE, FI  DK 1996-803 A 19960722 WO 1997-DK314 W 19970717 DK 1996-803 A 19960722 WO 1997-DK314 W 19970717 DK 1996-803 A 19960722 WO 1997-DK314 W 19970717 EP 1184370 A3 20020327 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, P. IE, FI  DK 1996-803 A 19960722 EP 1997-930368 A319970717 AT 218537 E 20020615 AT 1997-P30368 A319970717 AT 218537 A 19980122 A 1996-803 A 19960722 US 6127354 A 20001003 US 1997-DK314 W 1997-TN314 US 1996-803 A 19960722 US 6127354 A 20001003 US 1999-270862 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619227 CO000719 DK 1996-803 A 19960722 US 1997-896550 A319970717 US 6274584 B1 20010814 US 2000-619207 A319990317 WARPAT 128:154388 The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or Cic double bond; A1 = N-containing heterocycle, (aminoalkyl) bhenyl, (aminoalkyl) thienyl, G = H, halo, Cl-6 alkyl, aryl				0117	110,	11117	111,	511,	10,	10	D	к 19	96-8	<b>03</b>	Δ	1996	0722		
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IE, FI    DK 1996-803					BE.					ਸ਼ੁਸ਼	GB	GR	Τ·Tr	т. т	TII	NIT	C F	MC	שת
DK 1996-803 A 19960722 EP 1997-930368 A319970717 AT 218537 E 20020615 AT 1997-930368 19970717 DK 1996-803 A 19960722 W0 1997-DK314 W 19970717 ZA 9706371 A 19980122 ZA 1997-6371 19970718 DK 1996-803 A 19960722 US 6127354 A 20001003 US 1999-270862 19990317 DK 1996-803 A 19960722 US 6274584 B1 20010814 US 2000-619227 20000719 DK 1996-803 A 19960722 US 1997-896550 A319970717 US 1999-270862 A319990317 MARPAT 128:154388 The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, arvl			• • •			011,	DD,	DI,	шо,	L 1	GD,	GIV,	11,	шт,	ьо,	ИL,	SE,	MC,	Ρ1,
EP 1997-930368 A319970717  AT 218537  E 20020615  AT 1997-930368 19970717  DK 1996-803  W0 1997-DK314  W 19970717  ZA 9706371  A 19980122  US 6127354  A 20001003  US 1999-270862  US 1997-896550  A19960722  US 6274584  B1 20010814  US 2000-619227  US 1997-896550  A319970717  US 6274588  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl				,							DI	7 19	96-80	13	Δ	19960	1722		
AT 218537 E 20020615 AT 1997-930368 19970717  DK 1996-803 A 19960722  WO 1997-DK314 W 19970717  ZA 9706371 A 19980122 ZA 1997-6371 19970718  DK 1996-803 A 19960722  US 6127354 A 20001003 US 1999-270862 19990317  DK 1996-803 A 19960722  US 1997-896550 A319970717  US 6274584 B1 20010814 US 2000-619227 20000719  DK 1996-803 A 19960722  US 1997-896550 A319970717  US 1999-270862 A319990317  MARPAT 128:154388  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; A1 = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, arvl																			
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US 6274584  B1 20010814  US 2000-619227 20000719  DK 1996-803 A 19960722  US 1997-896550 A319970717  US 1999-270862 A319990317  MARPAT 128:154388  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl																			
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US 1997-896550 A319970717 US 1999-270862 A319990317  MARPAT 128:154388  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl		US	62745	084		В1	- :	20010	814										
US 1999-270862 A319990317  MARPAT 128:154388  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl																			
MARPAT 128:154388  The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl																			
The present invention relates to novel peptide analogs of general form I [A = X-A1; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl		MλD	ייטיאכו	120.1	5/20	0.0					US	3 199	99-27	0862	2 A3	19990	)317		
<pre>I [A = X-Al; X = alkylene chain optionally substituted and/or optional containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, Cl-6 alkyl, aryl</pre>							. n . r	-1-+ <i>-</i>			1					_		, .	_
containing O, S, or C:C double bond; Al = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl		T I	y = x	2 - 11 L	TIIVE	= 211 = 11CTC	11 E	sid ch	es to	nov	eT b	epti	tae a	inalo	ogs -	or ge	enera	ıı İc	rmul
(aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl			n - A taini	י ערד! יינדי!	), q	- ark	С•С 'Атеі	יום כני	ין ס ץ ימדנו	opti	.onal	— и ту	subst	rtut	.ea	and/c	or op	clor	ıaıly
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C1-6 alkoxy, CONR39R40, (CH2)pNR39SO2R41, (CH2)pNR39COR40, (CH2)pOR41,		C1-	6 alk	OX 0.	CUN	15305 15305	4 n	/CH3	1 PMC	30CV	12 D 1 1	10	- 11, "H2\~	MDJC		10 a	ткАт	ar., ar	.Y⊥,

The present invention relates to novel peptide analogs of general formula I [A = X-A1; X = alkylene chain optionally substituted and/or optionally containing O, S, or C:C double bond; A1 = N-containing heterocycle, (aminoalkyl)phenyl, (aminoalkyl)thienyl; G = H, halo, C1-6 alkyl, aryl, C1-6 alkoxy, CONR39R40, (CH2)pNR39SO2R41, (CH2)pNR39COR40, (CH2)pOR41, (CH2)pO2CR40, CHR39R40, CONR39NR40R42, (CH2)pNR39CSNR40R42, (CH2)pNR39CONR40R42; R39, R40 = independently H, (un)substituted C1-6 alkyl, etc.; R41 = aryl-substituted C1-6 alkyl; R42 = C1-6 alkyl; L1, L2 = independently CR57, N; R57 = H, C1-6 alkyl (un)substituted with OH, halo, C1-6 alkoxy, aryl; D, E = independently H, alkoxy, aryl, heteroaryl; R1 = H, C1-6 alkyl; R2 = H, acyl, C1-6 alkyl; R1R2 may form alkylene bridge; R3, R4 = independently H, (un)substituted C1-6 alkyl; R3R4 = O, S; n, m, p = independently 0-3] pharmaceutical compns. containing them, a method of

stimulating the release of growth hormone from the pituitary, a method for increasing the rate and extent of growth of animals to increase their milk and wool production, or for the treatment of ailments, and to use of the compds. for the preparation of medicaments. Thus, peptidomimetic II was prepared

by standard reactions from (R)-2-[N-tert-butoxycarbonyl-N-methylamino]-3-(2-naphthyl)propionic acid, N-methyl-N-phenethylamine, and (E)-5-(tert-butoxycarbonylamino)-5-methylhex-2-enoic acid. II and related peptide analogs were tested for growth hormone release in rat pituitary primary cultures in doses ranging from 10 pM to 100 mM. The prepared compds. were also tested for metabolic stability.

IT 202811-34-5P 202811-35-6P 202811-36-7P

202811-37-8P 202811-38-9P

RN

CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide analogs with growth hormone releasing properties) 202811-34-5 CAPLUS

Carbamic acid, [2-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 202811-35-6 CAPLUS

CN Carbamic acid, [2-[[2-[2-(methylamino)ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeNH-CH}_2 - \text{CH}_2 & \text{NH} \\ \hline \\ \text{C} & \text{O} \\ \hline \\ \text{CH}_2 \\ \hline \\ \text{NH} \\ \hline \\ \text{C} & \text{O} \\ \hline \\ \text{O} \\ \hline \\ \text{CH}_2 \\ \hline \end{array}$$

RN 202811-36-7 CAPLUS

CN Carbamic acid, [(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202811-37-8 CAPLUS

CN Carbamic acid, [2-[[2-[2-[methyl[2-(methylamino)-3-(2-naphthalenyl)-1-oxopropyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202811-38-9 CAPLUS

CN Carbamic acid, [(3E)-5-[[(1R)-2-[[2-[2-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]phenyl]ethyl]methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]methylamino]-1,1-dimethyl-5-oxo-3-pentenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

# RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1997:500179 CAPLUS

DN 127:122137

TI Nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents

IN Schmitt-Willich, Heribert; Platzek, Johannes; Raduechel, Bernd; Weinmann,
Hanns joachim; Ebert, Wolfgang; Misselwitz, Bernd; Muehler, Andreas;
Frenzel, Thomas

PA Schering A.-G., Germany

SO Ger. Offen., 51 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.	FAN.CNT 1 PATENT NO.					DATE		APPLICATION NO. DATE	
PI	DE	1954	9286		A1 19970626			DE 1995-19549286 19951222	
	CA	2241	187		AA	199/0/03		CA 1996-2241187 19961129 DE 1995-19549286A 19951222	
	WO	9723	245		A1	19970703		WO 1996-EP5315 19961129	
		W:	ΑU,	BG,	BY, CA	, CZ, IL,	JP,	KR, MX, NO, NZ, PL, RU, SK, UA, US,	VN
		RW:	AT,	BE,	CH, DE	, DK, ES,	FI,	FR, GB, GR, IE, IT, LU, MC, NL, PT,	SE
	7.7.	0710	200		- 1	10050515		DE 1995-19549286A 19951222	
	ΑU	9710328			ΑL	19970717		AU 1997-10328 19961129	
	AU	7260	34		В2	20001026			
								DE 1995-19549286A 19951222	
								WO 1996-EP5315 W 19961129	
	EΡ	868202			A1	19981007		EP 1996-941055 19961129	
						20020828			
				BE,			FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
								DE 1995-19549286A 19951222	
								WO 1996-EP5315 W 19961129	
	JP 2000510880			T2	20000822		JP 1997-523251 19961129		
								DE 1995-19549286A 19951222	
								WO 1996-EP5315 W 19961129	

АТ	222776	E	20020915	AT DE WO	1996-941055 19961129 1995-19549286A 19951222 1996-EP5315 W 19961129
RU	2197495	C2	20030127	RU DE	1998-113782 19961129 1995-19549286A 19951222
יזים	868202	т	20030131	WO PT	1996-EP5315 W 19961129 1996-96941055 19961129
LI	000202	1	20030131	DE	1995-19549286A 19951222
ES	2181924	Т3	20030301	ES	1996-941055 19961129
sĸ	283334	вб	20030603	DE SK	1995-19549286A 19951222 1998-854 19961129
				DE	1995-19549286A 19951222
	0.61.0000			WO	1996-EP5315 W 19961129
ZA	9610822	A	19970627		1996-10822 19961220
110	5874061	А	19990223	DE US	1995-19549286A 19951222 1996-777666 19961220
US	3074001	А	19990223	DE DE	1996-777666 19961220 1995-19549286A 19951222
ጥለ	520377	В	20030211	TW	1996-85115801 19961220
	320377	D	20030211	DE	1995-19549286A 19951222
US	6057419	А	20000502	US	1998-77773 19980604
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				WO	1996-EP5315 W 19961129
BG	63105	В1	20010430	BG	1998-102565 19980619
				DE	1995-19549286A 19951222
				WO	1996-EP5315 W 19961129
ИО	9802903	A	19980622	NO	1998-2903 19980622
					1995-19549286A 19951222
7.77	744000				1996-EP5315 W 19961129
AU AU	744292	B2	20020221	AU	2000-55021 20000830
ΑU	2000055021	A5	20001109		

DE 1995-19549286A 19951222 AΒ Complexes containing (a) A[X[Y[Z(WKw)z]y]x]a ligands (A = N-containing cascade polymer core with a branching degree, X, Y = direct bond or repeating unit with branching degree x, y, resp., Z, W = repeating unit with branching degree z, w, resp., K = complex formers, a = 2-12, x, y, z, w = 1-4,  $\geq$ 2 repeating units being different, 16  $\leq$  axyzw  $\leq$  64, and ≥1 of X, Y, Z, W being a 1,4,7,10-tetraazacyclododecane or 1,4,8,11-tetraazacyclotetradecane repeating unit), (b)  $\geq 16$  ions of metals with atom. nos. 20-29, 39, 42, 44, or 57-83, (c) optionally, an cation of (in)organic base, amino acid, or amino amide, and (d) optionally, acylated terminal amino group are are manufactured for use as pharmaceuticals and contrast agents in NMR tomog. and radiog. A typical complex was manufactured by reaction of HBr with benzyloxycarbonyl-blocked 36mer cascade polyamine prepared from N,N,N',N',N'',N''-hexakis(2-aminoethyl)trimesic acid core and 6 1-[5-(4-nitrophenoxy)-3-oxaglutaryl]-4,7,10-tris(N,N'dibenzyloxycarbonyllysyl)-1,4,7,10-tetraazacyclododecane, reaction of the resulting 36-mer amine hydrobromide with 1-(3-aza-4-carboxy-2-oxobutyl)-4,7,10-tris(tert-butoxycarbonylmethyl)-1,4,7,10-tetraazacyclododecane, and complexation of the Na salt of the resulting ligand with Gd203.

IT 192636-26-3P 192636-27-4P 192636-28-5P 192636-29-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(cascade polymer precursor; nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN 192636-26-3 CAPLUS

CN Benzoic acid, 3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-(9CI) (CA INDEX NAME)

RN 192636-27-4 CAPLUS

CN Carbamic acid, [[5-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-1,3-phenylene]bis[imino(2-oxo-2,1-ethanediyl)]]bis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 192636-28-5 CAPLUS

CN Carbamic acid, [1,4,7,10-tetraazacyclododecane-1,4,7-triyltris[carbonyl-5,1,3-benzenetriylbis[imino(2-oxo-2,1-ethanediyl)]]]hexakis-, hexakis(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN

192636-29-6 CAPLUS
Acetic acid, [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amin o]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]- (9CI) CN (CA INDEX NAME)

### IT 192636-30-9P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(complexing cascade polymer precursor; nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN 192636-30-9 CAPLUS

CN Acetic acid, [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amin o]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]-, polymer with N,N,N',N',N'',N''-hexakis(2-aminoethyl)-1,3,5-benzenetricarboxamide hydrobromide (9CI) (CA INDEX NAME)

CM 1

CRN 192636-29-6 CMF C93 H96 N16 O25

CM 2

CRN 192635-87-3 CMF C21 H39 N9 O3 . x Br H

●x HBr

IT 192636-31-0DP, gadolinium complexes

RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(nitrogen-containing cascade polymer transition metal complexes and their manufacture and use in pharmaceuticals and diagnostic agents)

RN 192636-31-0 CAPLUS

CN Sodium(1+), [tris(1,1-dimethylethyl) 10-[1-methyl-2-[[2-(4-nitrophenoxy)-2-oxoethyl]amino]-2-oxoethyl]-1,4,7,10-tetraazacyclododecane-1,4,7-triacetate-κN1,κN4,κN7,κN10]-, bromide, polymer with N,N,N',N',N'',N''-hexakis(2-aminoethyl)-1,3,5-benzenetricarboxamide hydrobromide and [2-oxo-2-[4,7,10-tris[3,5-bis[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]benzoyl]-1,4,7,10-tetraazacyclododec-1-yl]ethoxy]acetic acid (9CI) (CA INDEX NAME)

CM 1

CRN 192636-29-6 CMF C93 H96 N16 O25

### PAGE 1-B

CM 2

CRN 192636-00-3

CMF C37 H60 N6 Na O11 . Br

CCI CCS

• Br-

CM 3

CRN 192635-87-3 CMF C21 H39 N9 O3 . x Br H

•x HBr

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:32622 CAPLUS

DN 122:31918

TI Structure-activity relationships of double-strand RGD peptides as GPIIb/IIIa receptor antagonists

AU Ojima, Iwao; Dong, Qing; Eguchi, Masakatsu; Oh, Young-im; Amann, Clare M.; Coller, Barry S.

CS School. Medicine, State University New York, Stony Brook, NY, 11794, USA

SO Bioorganic & Medicinal Chemistry Letters (1994), 4(14), 1749-54 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

AB A series of new double-strand RGD peptides M(CO-Arg-Gly-Asp-Phe-OH)2 [M = (CH2)n, p-C6H4, n = 2-4] and (R-Arg-Gly-Asp-Phe-NH)2XZ [R = H, Me(CH2)4CO, Bz, 4-[HN:C(NH2)NH]C6H4CO-Ser; X = Lys, Orn, cis,cis-3,5-diaminocyclohexanecarbonyl, 3,5-(Gly-NH)2C6H3CO; Z = NH2, Gly-Arg-Gly-Asp-Phe-NH2, Arg-Gly-Asp-Phe-OH] were prepared and their inhibitory activities evaluated for platelet aggregation. Substantial

improvement in activity is observed with these novel RGD peptides in comparison with single-strand RGD peptides. The structure-activity relationships of these double-strand RGD peptides are discussed.

IT 159581-70-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, deblocking, and peptide coupling of, with protected arginylglycylaspartic acid peptides)

RN 159581-70-1 CAPLUS

CN Benzoic acid, 3,5-bis[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1975:86615 CAPLUS

DN 82:86615

TI Intramolecular rearrangements in peptide derivatives of anthranilic acid

AU Noguchi, Junzo; Kawai, Megumi; Hamada, Masato

CS Fac. Sci., Hokkaido Univ., Sapporo, Japan

SO Israel Journal of Chemistry (1974), 12(1-2), 87-101

CODEN: ISJCAT; ISSN: 0021-2148

DT Journal

LA English

AB The peptidylanthranilic acid ester is stable during peptide coupling. However, the amide bond of peptidylanthranilic acid is catalytically hydrolyzed at pH 7. In this reaction, no decomposition or significant racemization of peptide was observed and the protected peptide was easily obtained. Only glycylanthraniloyl derivs. rearranged into peptide and anthranilic acid in aqueous solution

IT 55301-22-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 55301-22-9 CAPLUS

CN Carbamic acid, [2-oxo-2-[[2-[[[2-[[(phenylmethoxy)carbonyl]amino]ethyl]amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Page 29

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